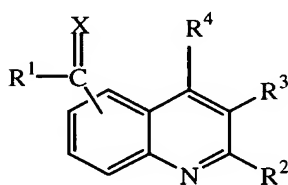


## ABSTRACT

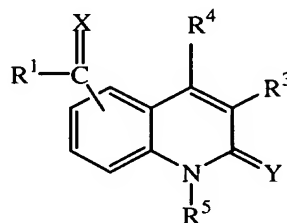
RADIOLABELLED QUINOLINE AND QUINOLINONE DERIVATIVES AND  
THEIR USE AS METABOTROPIC GLUTAMATE RECEPTOR LIGANDS.

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The present invention is concerned with radiolabelled quinoline and quinolinone derivatives according Formula (I-A)\* or (I-B)\* showing metabotropic glutamate receptor antagonistic activity, in particular mGlu1 receptor activity, and their preparation ; it further relates to compositions comprising them, as well as their use for marking and identifying metabotropic glutamate receptor sites and for imaging an organ.



(I-A)\*



(I-B)\*

- 15 In a preferable embodiment, X represents O; R<sup>1</sup> represents C<sub>1-6</sub>alkyl; cycloC<sub>3-12</sub>alkyl or (cycloC<sub>3-12</sub>alkyl)C<sub>1-6</sub>alkyl, wherein one or more hydrogen atoms in a C<sub>1-6</sub>alkyl-moiety or in a cycloC<sub>3-12</sub>alkyl-moiety optionally may be replaced by C<sub>1-6</sub>alkyloxy, aryl, halo or thienyl; R<sup>2</sup> represents hydrogen; halo; C<sub>1-6</sub>alkyl or amino; R<sup>3</sup> and R<sup>4</sup> each independently represent hydrogen or C<sub>1-6</sub>alkyl; or R<sup>2</sup> and R<sup>3</sup> may be taken together to form -R<sup>2</sup>-R<sup>3</sup>-,
- 20 which represents a bivalent radical of formula -Z<sub>4</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>- or -Z<sub>4</sub>-CH<sub>2</sub>-CH<sub>2</sub>- with Z<sub>4</sub> being O or NR<sup>11</sup> wherein R<sup>11</sup> is C<sub>1-6</sub>alkyl; and wherein each bivalent radical is optionally substituted with C<sub>1-6</sub>alkyl; or R<sup>3</sup> and R<sup>4</sup> may be taken together to form a bivalent radical of formula -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-; R<sup>5</sup> represents hydrogen; Y represents O; and aryl represents phenyl optionally substituted with halo. Most preferred are
- 25 radiolabelled compounds in which the radioactive isotope is selected from the group of of <sup>3</sup>H, <sup>11</sup>C and <sup>18</sup>F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluR1 receptor in biological material, as well as to their use for imaging an organ, in particular using PET.